

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
22 January 2004 (22.01.2004)

PCT

(10) International Publication Number
WO 2004/006927 A3

(51) International Patent Classification⁷: A61K 31/445,
A61P 37/00, C07D 401/12, 239/26, 211/96

R & D Alderley, Alderley Park, Macclesfield, Cheshire
SK10 4TG (GB). PATEL, Ian [GB/GB]; Avlon Works,
Severn Road, Hallen, Bristol, Avon BS10 7ZE (GB).

(21) International Application Number:
PCT/GB2003/002985

(74) Agent: ASTRAZENECA; Global Intellectual Property,
Mereside, Alderley Park, Macclesfield, Cheshire SK10
4TG (GB).

(22) International Filing Date: 9 July 2003 (09.07.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0216382.2 13 July 2002 (13.07.2002) GB

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU,
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,
CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,
MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC,
SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA,
UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(71) Applicant (*for AE, AG, AL, AM, AT, AU, AZ, BA, BB, BE,
BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CY, CZ, DE,
DK, DM, DZ, EC, EE, ES, FI, FR, GB, GD, GE, GH, GM,
GR, HR, HU, ID, IE, IL, IN, IS, JP, KE, KG, KP, KR, KZ,
LC, LK, LR, LS, LT, LU, LV, MA, MD, MK, MN, MW, MX,
MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD,
SE, SG, SK, SL, SY, SZ, TJ, TM, TN, TR, TT, TZ, UA, UG,
UZ, VC, VN, YU, ZA, ZM, ZW only*): ASTRAZENECA
AB [SE/SE]; Sodertalje, S-151 85 (SE).

(84) Designated States (*regional*): ARIPO patent (GH, GM,
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO,
SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM,
GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(71) Applicant (*for MG only*): ASTRAZENECA UK LIM-
ITED [GB/GB]; 15 Stanhope Gate, London, Greater Lon-
don W1K 1LN (GB).

Published:

- with international search report
- before the expiration of the time limit for amending the
claims and to be republished in the event of receipt of
amendments

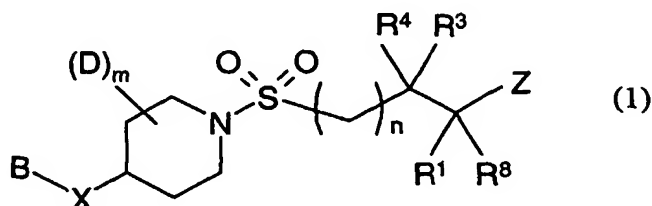
(72) Inventors; and

(75) Inventors/Applicants (*for US only*): BURROWS,
Jeremy, Nicholas [GB/GB]; AstraZeneca R & D Alderley,
Alderley Park, Macclesfield, Cheshire SK10 4TG (GB).
TUCKER, Howard [GB/GB]; AstraZeneca R & D Alder-
ley, Alderley Park, Macclesfield, Cheshire SK10 4TG
(GB). BROWN, Simon, James [GB/GB]; AstraZeneca

(88) Date of publication of the international search report:
25 March 2004

*For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.*

(54) Title: SULPHONYLPYPERIDINE DERIVATIVES CONTAINING AN ALKENYL OR ALKYNLY MOIETY FOR USE AS
MATRIX METALLOPROTEINASE INHIBITORS



(57) Abstract: A compound of formula
(1): wherein B is optionally substituted
C₂₋₄alkenyl or C₂₋₄alkynyl; useful in the
inhibition of one or more metalloproteinases
and in particular TACE.

INTERNATIONAL SEARCH REPORT

International Application No

PC1, 03/02985

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 A61K31/445 A61P37/00 C07D401/12 C07D239/26 C07D211/96

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, BEILSTEIN Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 00/46221 A (CARROLL JEFFERY N ;CRESCENZO GARY A DE (US); MISCHKE DEBORAH A (US) 10 August 2000 (2000-08-10) claim 4	1-10
Y	WO 99/24399 A (DARWIN DISCOVERY LTD) 20 May 1999 (1999-05-20) claim 1	1-10
A	WO 00/12478 A (ZENECA PHARMA SA ;TUCKER HOWARD (GB); WATERSON DAVID (GB); ZENECA) 9 March 2000 (2000-03-09) cited in the application claim 1	1-10

☐ Further documents are listed in the continuation of box C.☒ Patent family members are listed in annex.

* Special categories of cited documents :

- "A" document defining the general state of the art which is not considered to be of particular relevance
- "E" earlier document but published on or after the international filing date
- "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- "O" document referring to an oral disclosure, use, exhibition or other means
- "P" document published prior to the international filing date but later than the priority date claimed

- "T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- "&" document member of the same patent family

Date of the actual completion of the international search

8 October 2003

Date of mailing of the international search report

02.02.04

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax: (+31-70) 340-3016

Authorized officer

Johnson, C

INTERNATIONAL SEARCH REPORT

In International application No.
PCT/GB 03/02985

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
Although claims 8 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

see additional sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☒ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

1-10

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1-10

Compounds of formula (1), their pharmaceutical uses and compositions.

2. claims: 11-13

Ethyl 4-(pyrimidin-2-yl)butanoate and its process of preparation

3. claim: 14

The use of the defined palladium catalyst in a Negishi coupling reaction

INTERNATIONAL SEARCH REPORT

International Application No
P 03/02985

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 0046221	A	10-08-2000	
		AU 2757400 A	25-08-2000
		BG 105788 A	28-02-2002
		BR 0008440 A	26-03-2002
		CA 2362230 A1	10-08-2000
		CN 1362954 T	07-08-2002
		CZ 20012849 A3	15-05-2002
		EE 200100410 A	16-12-2002
		EP 1157021 A1	28-11-2001
		HU 0200119 A2	29-06-2002
		JP 2002536373 T	29-10-2002
		NO 20013850 A	19-09-2001
		PL 350193 A1	18-11-2002
		SK 11352001 A3	10-09-2002
		WO 0046221 A1	10-08-2000
		US 6492367 B1	10-12-2002
		US 6448250 B1	10-09-2002
		US 6372758 B1	16-04-2002
		ZA 200106492 A	07-05-2003
WO 9924399	A	20-05-1999	
		AT 231837 T	15-02-2003
		AU 748843 B2	13-06-2002
		AU 1046999 A	31-05-1999
		BR 9814147 A	03-10-2000
		CA 2308359 A1	20-05-1999
		CN 1283183 T	07-02-2001
		DE 69811111 D1	06-03-2003
		DE 69811111 T2	24-07-2003
		DK 1030836 T3	26-05-2003
		EP 1030836 A1	30-08-2000
		ES 2190115 T3	16-07-2003
		WO 9924399 A1	20-05-1999
		HU 0100152 A2	28-02-2002
		JP 2001522832 T	20-11-2001
		NO 20002440 A	11-05-2000
		NZ 504387 A	25-10-2002
		PL 340551 A1	12-02-2001
		RU 2210567 C2	20-08-2003
		US 6187924 B1	13-02-2001
		ZA 9810360 A	12-11-1999
WO 0012478	A	09-03-2000	
		AU 764367 B2	14-08-2003
		AU 5524799 A	21-03-2000
		BG 105369 A	31-12-2001
		BR 9913255 A	22-05-2001
		CA 2339761 A1	09-03-2000
		CN 1324347 T	28-11-2001
		EE 200100106 A	17-06-2002
		EP 1109787 A1	27-06-2001
		WO 0012478 A1	09-03-2000
		HU 0103344 A2	28-02-2002
		ID 28786 A	05-07-2001
		JP 2002523493 T	30-07-2002
		NO 20011023 A	25-04-2001
		NZ 509730 A	30-05-2003
		PL 346344 A1	11-02-2002
		SK 2702001 A3	06-08-2001
		TR 200100605 T2	21-08-2001
		ZA 200101231 A	13-05-2002